

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date  
6 October 2005 (06.10.2005)

PCT

(10) International Publication Number  
**WO 2005/092863 A1**

(51) International Patent Classification<sup>7</sup>: C07D 231/38, 403/04, 409/04, 405/12, 403/12, 403/10, A61K 31/415, A61P 31/12

(GB), GUIDETTI, Rossella [IT/GB]; c/o GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). LOVEGROVE, Victoria, Lucy, Helen [GB/GB]; c/o GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). MORDAUNT, Jacqueline, Elizabeth [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). SHAH, Pritom [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). SLATER, Martin, John [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB).

(21) International Application Number:  
PCT/GB2005/001071

(22) International Filing Date: 22 March 2005 (22.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
 0406916.7 26 March 2004 (26.03.2004) GB  
 0406918.3 26 March 2004 (26.03.2004) GB  
 0423000.9 15 October 2004 (15.10.2004) GB  
 0423001.7 15 October 2004 (15.10.2004) GB

(71) Applicant (for all designated States except US): GLAXO GROUP LIMITED [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford Middlesex UB6 0NN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BRAVI, Giampaolo [IT/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). CORFIELD, John, Andrew [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). GRIMES, Richard, Martin [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY

(74) Agent: BAKER, Suzanne, June; GlaxoSmithKline, Corporate Intellectual Property (CN925.1), 980 Great West Road, Brentford Middlesex TW8 9GS (GB).

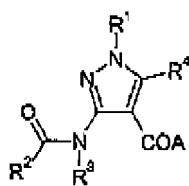
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): AR/PO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,

*[Continued on next page]*

(54) Title: 4-CARBO PYRAZOLE DERIVATES USEFUL AS ANTI-VIRAL AGENTS

WO 2005/092863 A1



(I)

(57) Abstract: Novel antiviral compounds of Formula (I) : wherein: A represents hydroxy; R1 represents aryl, heteroaryl bonded through a ring carbon atom, or heterocycl bonded through a ring carbon atom, C1-6alkyl or -C5-7cycloalkyl, each of which may be optionally substituted; R2 represents substituted phenyl, or -(CH2)nCS-7cycloalkyl optionally substituted on the cycloalkyl; R3 represents heterocycl or heteroaryl; optionally substituted phenyl or optionally substituted -C1-6alkyl; R4 represents hydrogen; and salts, solvates and esters thereof, processes for their preparation, pharmaceutical compositions comprising them, and methods of using them in HCV treatment are provided.